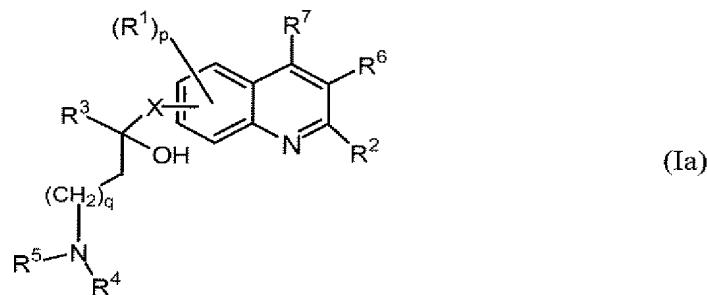


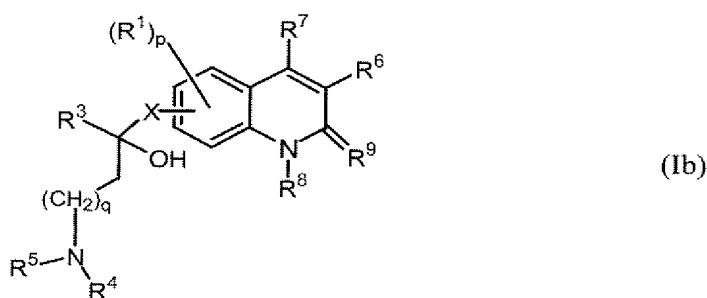
CLAIMS

1. A compound according to the general Formula (Ia) or the general Formula (Ib)

5



(Ia)



(Ib)

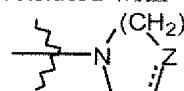
the pharmaceutically acceptable acid or base addition salts thereof, the quaternary amines thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the *N*-oxide forms thereof, wherein :

10       $\text{R}^1$       is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ;

      p      is an integer equal to 1, 2 or 3;

$\text{R}^2$       is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with

15      amino or mono or di(alkyl)amino or a radical of formula



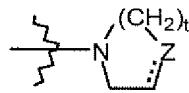
wherein  $Z$  is  $\text{CH}_2$ ,  $\text{CH}-\text{R}^{10}$ ,  $\text{O}$ ,  $\text{S}$ ,  $\text{N}-\text{R}^{10}$  and  $t$  is an integer equal to 1 or 2

and the dotted line represents an optional bond; alkyloxyalkyloxy;

alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be

substituted with one or two substituents each independently be selected

from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Ar; Het

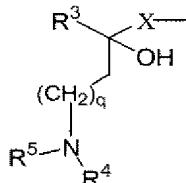


or a radical of formula  $N-R^{10}$ ; t is an integer equal to 1 or 2; and the dotted line represents an optional bond;

- $R^3$  is alkyl, Ar, Ar-alkyl, Het or Het-alkyl;
- 5     $q$  is an integer equal to zero, 1, 2, 3 or 4 ;
- $X$  is a direct bond or  $CH_2$ ;
- $R^4$  and  $R^5$  each independently are hydrogen, alkyl or benzyl; or
- $R^4$  and  $R^5$  together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino, mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and pyrimidinyl;
- 10     $R^6$  is hydrogen or a radical of formula  $(R^{11})_r$  wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5 ; and  $R^{11}$  is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ; or two vicinal  $R^{11}$  radicals may be taken together to form together with the phenyl ring to which they are attached a naphthyl;
- 15     $R^7$  is hydrogen, alkyl, Ar or Het ;
- $R^8$  is hydrogen or alkyl ;
- 20     $R^9$  is oxo ; or
- $R^8$  and  $R^9$  together form the radical  $-CH=CH-N=$ ;
- $R^{10}$  is hydrogen, alkyl, hydroxyl, aminocarbonyl, mono-or di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-, Ar-C(=O)-;
- 25    alkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms ; or is a cyclic saturated hydrocarbon radical having from 3 to 6

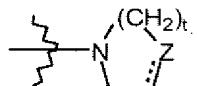
carbon atoms ; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms ; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo ;

- 5 Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl ;
- 10 Het is a monocyclic heterocycle selected from the group of N-phenoxyperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, triazolyl, isothiazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl ; each monocyclic and bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy;
- 15 halo is a substituent selected from the group of fluoro, chloro, bromo and iodo and
- haloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms, wherein one or more carbon atoms are substituted with one or more halo-atoms;
- 20
- 25

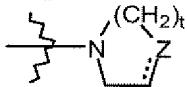


provided that when R<sup>7</sup> is hydrogen then the radical may also be placed in position 3 of the quinoline ring.

2. A compound according to claim 1 provided that when R<sup>6</sup> is other than hydrogen then R<sup>7</sup> is hydrogen and when R<sup>7</sup> is other than hydrogen then R<sup>6</sup> is hydrogen.
- 30
3. A compound according to claim 1 or 2 wherein R<sup>2</sup> is hydrogen; alkyl; alkyloxy optionally substituted with amino or mono or di(alkyl)amino or a radical of formula



wherein Z is  $\text{CH}_2$ ,  $\text{CH}-\text{R}^{10}$ , O, S,  $\text{N}-\text{R}^{10}$  and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; mono or di(alkyl)amino; Ar; Het or a



radical of formula

wherein Z is  $\text{CH}_2$ ,  $\text{CH}-\text{R}^{10}$ , O, S,  $\text{N}-\text{R}^{10}$ ; t is an

integer equal 1 or 2; and the dotted line represents an optional bond.

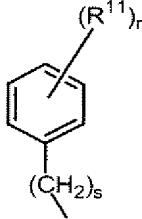
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4. A compound according to any one of the preceding claims wherein  $\text{R}^3$  is naphthyl, phenyl or Het, each optionally substituted with 1 or 2 substituents, that substituent being a halo or haloalkyl.

10 5. A compound according to any one of the preceding claims wherein q is equal to 1.

6. A compound according to any one of the preceding claims wherein  $\text{R}^4$  and  $\text{R}^5$  each independently are hydrogen or alkyl.

15 7. A compound according to any one of the preceding claims wherein  $\text{R}^6$  is hydrogen or



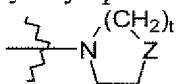
a radical of formula

wherein s is an integer equal to zero or 1; r is an integer equal to 1 or 2.

8. A compound according to any one of the preceding claims wherein  $\text{R}^7$  is hydrogen or

20 Ar.

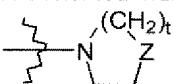
9. A compound according to claim 1 wherein  $\text{R}^1$  is hydrogen, halo, alkyl or Het;  $\text{R}^2$  is alkyl, alkyloxy optionally substituted with mono or di(alkyl)amino or a radical of



formula

wherein Z is  $\text{CH}_2$ ,  $\text{CH}-\text{R}^{10}$ , O,  $\text{N}-\text{R}^{10}$ , t is an integer equal to 1

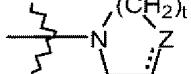
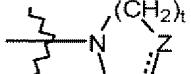
25 or 2, and  $\text{R}^{10}$  is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-; Ar; Het; a radical of formula

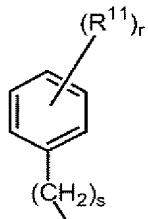


wherein Z is  $\text{CH}_2$ ,  $\text{CH}-\text{R}^{10}$ , O,  $\text{N}-\text{R}^{10}$ ; t is an integer equal to 1 or 2,

wherein R<sup>10</sup> is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-; R<sup>3</sup> is Ar or Het, each optionally substituted with 1 or 2 substituents that substituent being a halo; R<sup>4</sup> and R<sup>5</sup> are each alkyl; R<sup>6</sup> is hydrogen, phenyl, benzyl or 4-methylbenzyl; R<sup>7</sup> is hydrogen or phenyl; R<sup>8</sup> is hydrogen; R<sup>9</sup> is oxo.

10. A compound according to claim 1 wherein

- R<sup>1</sup> is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ;
- 10 p is an integer equal to 1, 2 or 3;
- R<sup>2</sup> is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with  
amino or mono or di(alkyl)amino or a radical of formula   
wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup> and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; alkyloxyalkyloxy; alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Het or  
15 a radical of formula   
wherein Z is CH<sub>2</sub>, CH-R<sup>10</sup>, O, S, N-R<sup>10</sup>; t is an integer equal to 1 or 2; and the dotted line represents an optional bond;
- 20 R<sup>3</sup> is alkyl, Ar, Ar-alkyl, Het or Het-alkyl;
- q is an integer equal to zero, 1, 2, 3 or 4 ;
- X is a direct bond;
- R<sup>4</sup> and R<sup>5</sup> each independently are hydrogen, alkyl or benzyl; or
- 25 R<sup>4</sup> and R<sup>5</sup> together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino, mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and pyrimidinyl;



- R<sup>6</sup> is a radical of formula wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5 ; and R<sup>11</sup> is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl ; or two vicinal R<sup>11</sup> radicals may be taken together to form together with the phenyl ring to which they are attached a naphthyl;
- 5 R<sup>7</sup> is hydrogen, alkyl, Ar or Het ;
- R<sup>8</sup> is hydrogen or alkyl ;
- R<sup>9</sup> is oxo ; or
- 10 R<sup>8</sup> and R<sup>9</sup> together form the radical  $-\text{CH}=\text{CH}-\text{N}=$ ;
- R<sup>10</sup> is hydrogen, alkyl, aminocarbonyl, mono-or di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-;
- 15 alkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms ; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms ; or is a a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms ; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo ;
- 20 Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl ;
- 25 Het is a monocyclic heterocycle selected from the group of N-phenoxyperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, triazolyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl,
- 30 benzothiazolyl, benzisothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl ; each monocyclic and

bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy ;  
halo is a substituent selected from the group of fluoro, chloro, bromo and iodo and  
haloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to  
5 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3  
to 6 carbon atoms, wherein one or more carbon atoms are substituted  
with one or more halo-atoms.

11. A compound according to any one of the preceding claims wherein the compound  
10 is a compound of formula (Ia).

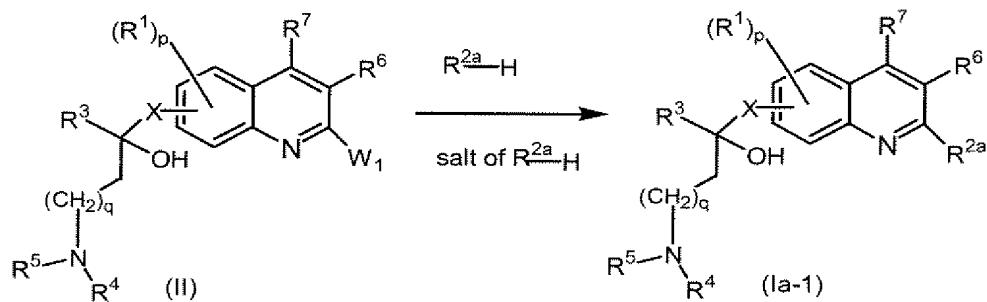
12. A compound according to any one of the preceding claims for use as a medicine.

13. A composition comprising a pharmaceutically acceptable carrier and, as active  
15 ingredient, a therapeutically effective amount of a compound as defined in any one of  
claims 1 to 11.

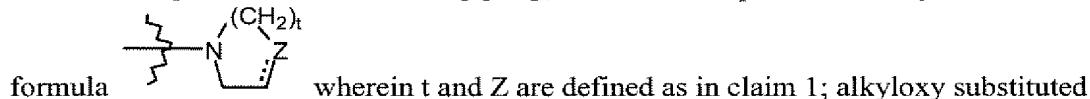
14. Use of a compound according to any one of claims 1 to 11 or a composition  
according to claim 13 for the manufacture of a medicament for the treatment of  
20 mycobacterial diseases.

15. Method of treating a patient suffering from, or at risk of, a mycobacterial disease,  
which comprises administering to the patient a therapeutically effective amount of a  
compound according to any one of claims 1 to 11 or pharmaceutical composition  
25 according to claim 13.

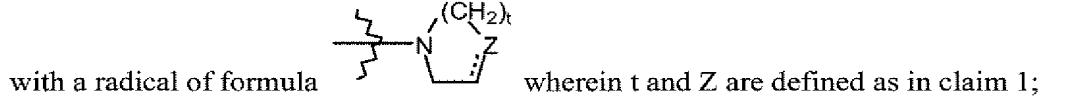
16. A process for preparing a compound according to claim 1 characterized by  
a) reacting an intermediate of formula (II) with H-R<sup>2a</sup> or with a suitable salt form of H-  
R<sup>2a</sup>, optionally in the presence of a suitable solvent and optionally in the presence of a  
30 suitable base



wherein  $W_1$  represents a suitable leaving group, wherein  $R^{2a}$  represents alkoxy; a radical of



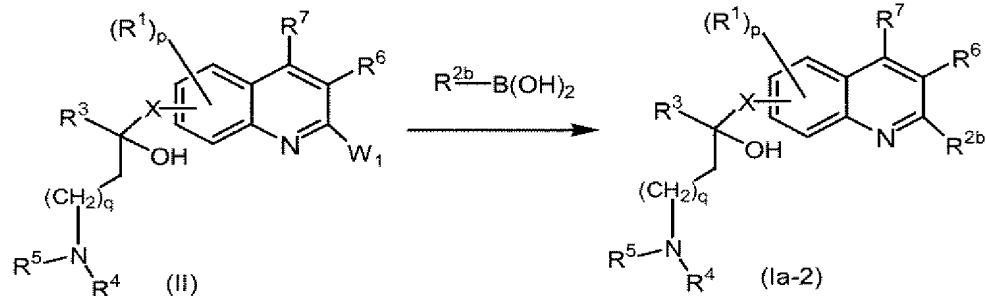
wherein t and Z are defined as in claim 1; alkyloxy substituted



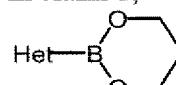
with a radical of formula  $\text{V}$  wherein t and Z are defined as in claim 1;

mono or di(alkyl)amino wherein alkyl may optionally be substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; and wherein R<sup>1</sup>, R<sup>3</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1;

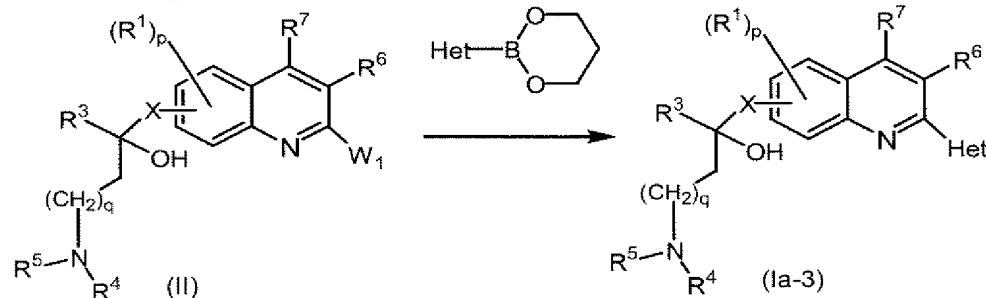
- b) reacting an intermediate of formula (II) with  $R^{2b}\text{-B(OH)}_2$  in the presence of a suitable catalyst, a suitable solvent, and a suitable base



10 wherein  $W_1$  represents a suitable leaving group, wherein  $R^{2b}$  represents Het or alkyl and  
wherein  $R^1$ ,  $R^3$  to  $R^7$ , p, q and X are defined as in claim 1;

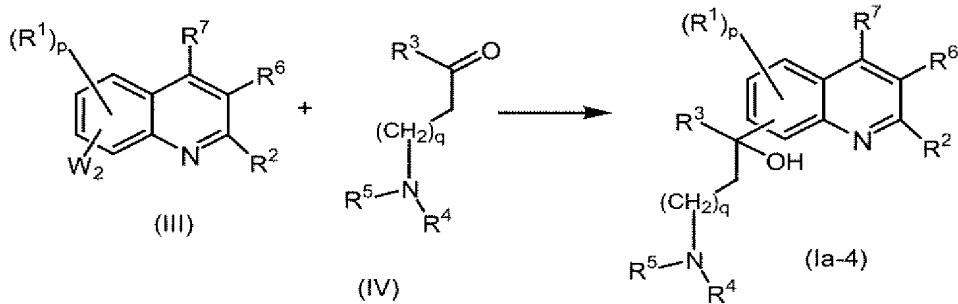


c) reacting an intermediate of formula (II) with  in the presence of a suitable catalyst, a suitable solvent and a suitable base,



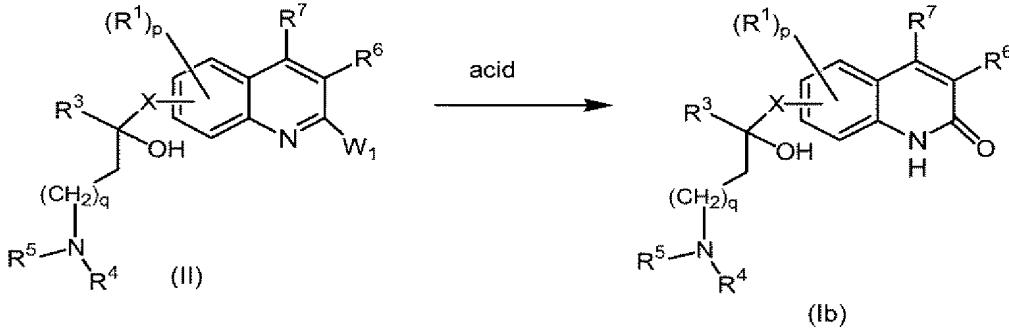
15 wherein  $W_1$  represents a suitable leaving group and wherein  $R^1$ ,  $R^3$  to  $R^7$ , p, q and X are defined as in claim 1;

d) reacting an intermediate of formula (III) with an intermediate of formula (IV) in the presence of a suitable coupling agent, in the presence of a suitable solvent and optionally in the presence of a suitable base,



wherein  $W_2$  represents a suitable leaving group and wherein  $R^1$  to  $R^7$ , p and q are defined as in claim 1;

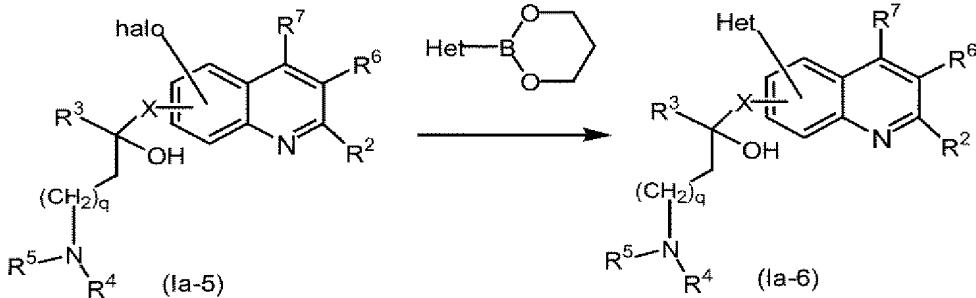
- 5 e) reacting an intermediate of formula (II) with a suitable acid in the presence of a suitable solvent,



wherein  $W_1$  represents a suitable leaving group and wherein  $R^1$ ,  $R^3$  to  $R^7$ , p, q and X are defined as in claim 1;

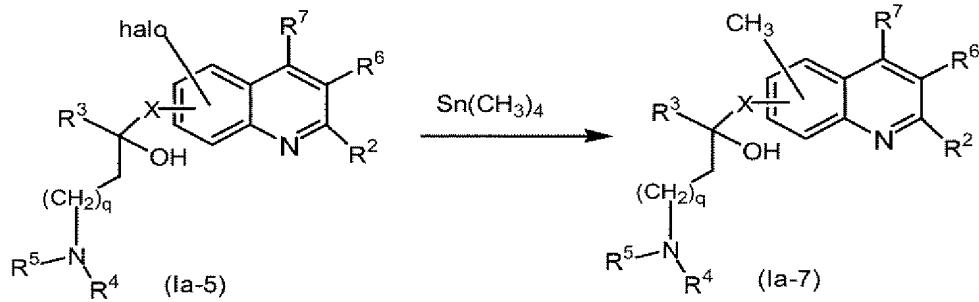
- f) converting a compound of formula (Ia-5) into a compound of formula (Ia-6), by

- 10 reaction with
- in the presence of a suitable catalyst, a suitable solvent, and a suitable base,



wherein  $R^2$  to  $R^7$ , p, q and X are defined as in claim 1;

- g) converting a compound of formula (Ia-5) into a compound of formula (Ia-7), by  
15 reaction with  $Sn(CH_3)_4$  in the presence of a suitable catalyst and a suitable solvent,



wherein R<sup>2</sup> to R<sup>7</sup>, p, q and X are defined as in claim 1;

- or, if desired, converting compounds of formula (Ia) or (Ib) into each other following  
5 art-known transformations, and further, if desired, converting the compounds of  
formula (Ia) or (Ib), into a therapeutically active non-toxic acid addition salt by  
treatment with an acid, or into a therapeutically active non-toxic base addition salt by  
treatment with a base, or conversely, converting the acid addition salt form into the free  
base by treatment with alkali, or converting the base addition salt into the free acid by  
10 treatment with acid; and, if desired, preparing stereochemically isomeric forms,  
quaternary amines, tautomeric forms or N-oxide forms thereof.